

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

| | | |
|--------------|----|---|
| NEWS | 1 | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | "Ask CAS" for self-help around the clock |
| NEWS | 3 | May 12 EXTEND option available in structure searching |
| NEWS | 4 | May 12 Polymer links for the POLYLINK command completed in REGISTRY |
| NEWS | 5 | May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus |
| NEWS | 6 | May 27 CAplus super roles and document types searchable in REGISTRY |
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| NEWS EXPRESS | | JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004 |
| NEWS HOURS | | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | | General Internet Information |
| NEWS LOGIN | | Welcome Banner and News Items |
| NEWS PHONE | | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | | CAS World Wide Web Site (general information) |

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FILE 'HOME' ENTERED AT 10:01:34 ON 12 AUG 2004

| | | |
|----------------------|------------|---------|
| => file reg | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:01:51 ON 12 AUG 2004
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STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9
DICTIONARY FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

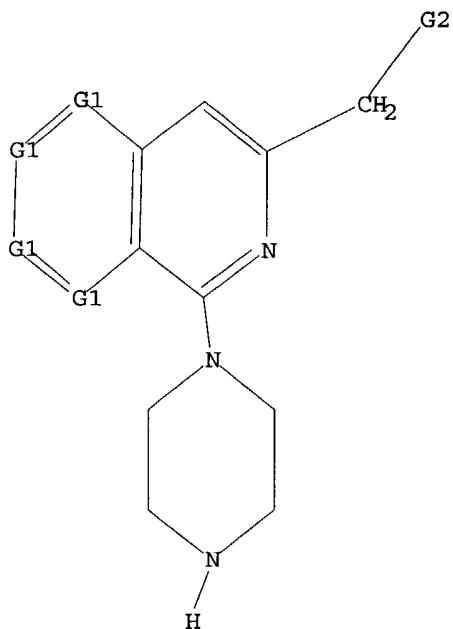
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10796673.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 N,CH

G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss full
FULL SEARCH INITIATED 10:02:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3737 TO ITERATE
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100.0% PROCESSED 3737 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01
```

L2 0 SEA SSS FUL L1

```
=> log y
COST IN U.S. DOLLARS          SINCE FILE           TOTAL
                               ENTRY                 SESSION
FULL ESTIMATED COST          155.42              155.63
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STN INTERNATIONAL LOGOFF AT 10:02:37 ON 12 AUG 2004

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

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| | | |
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| NEWS WWW | | CAS World Wide Web Site (general information) |

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FILE 'HOME' ENTERED AT 10:04:41 ON 12 AUG 2004

```
=> file reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY           SESSION
FULL ESTIMATED COST          0.21            0.21
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FILE 'REGISTRY' ENTERED AT 10:04:58 ON 12 AUG 2004
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STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9
DICTIONARY FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

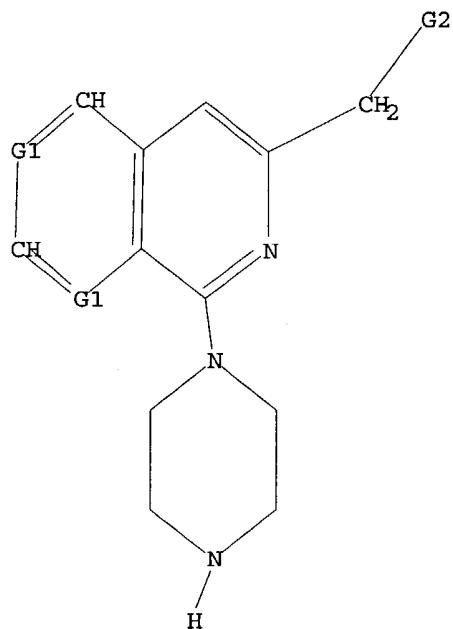
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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Uploading c:\program files\stnexp\queries\10796673.1
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L1 STRUCTURE uploaded

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=> d l1
L1 HAS NO ANSWERS
L1      STR
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G1 N,CH

G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 10:05:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1790 TO ITERATE

100.0% PROCESSED 1790 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
155.42 155.63

STN INTERNATIONAL LOGOFF AT 10:05:26 ON 12 AUG 2004

Welcome to STN International! Enter x:x

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * * * * * * *

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| NEWS WWW | | CAS World Wide Web Site (general information) |

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FILE 'HOME' ENTERED AT 10:07:08 ON 12 AUG 2004

| | | | |
|----------------------|------------|---------|--|
| => file reg | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| | ENTRY | SESSION | |
| FULL ESTIMATED COST | 0.21 | 0.21 | |

FILE 'REGISTRY' ENTERED AT 10:07:18 ON 12 AUG 2004
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STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9
DICTIONARY FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
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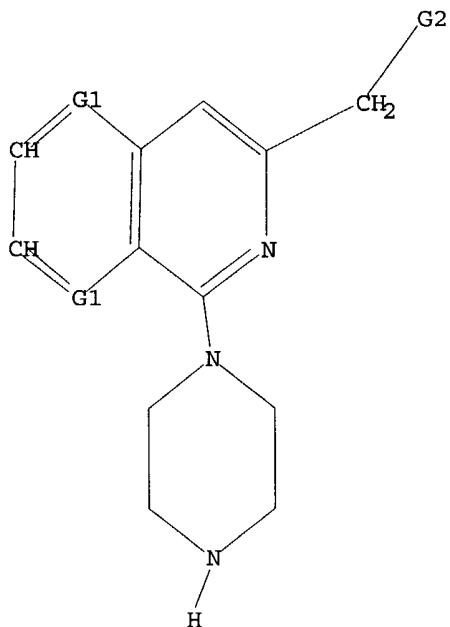
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading c:\program files\stnexp\queries\10796673.2

L1 STRUCTURE uploaded

=> d 11
L1 HAS NO ANSWERS
L1 STR



G1 N,CH

G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full
FULL SEARCH INITIATED 10:07:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3390 TO ITERATE

100.0% PROCESSED 3390 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
155.42 155.63

STN INTERNATIONAL LOGOFF AT 10:07:47 ON 12 AUG 2004

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

| | | |
|--------------|----|---|
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FILE 'HOME' ENTERED AT 10:10:27 ON 12 AUG 2004

| | | |
|----------------------|------------|---------|
| => file reg | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:10:37 ON 12 AUG 2004
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STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9
DICTIONARY FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

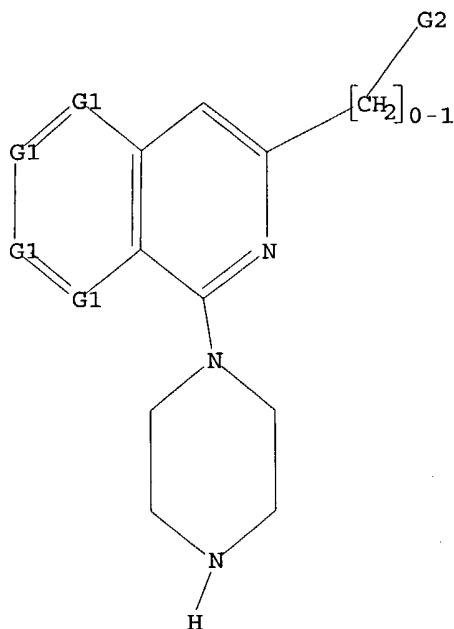
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading c:\program files\stnexp\queries\10796673.3

L1 STRUCTURE uploaded

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 N, CH

G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 19524 TO ITERATE
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100.0% PROCESSED 19524 ITERATIONS
SEARCH TIME: 00.00.01

5 ANSWERS

L2 5 SEA SSS FUL L1

| | | |
|----------------------|------------|---------|
| => file caplus | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 155.42 | 155.63 |

FILE 'CAPLUS' ENTERED AT 10:11:21 ON 12 AUG 2004
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FILE COVERS 1907 - 12 Aug 2004 VOL 141 ISS 7
 FILE LAST UPDATED: 11 Aug 2004 (20040811/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 12
L3          2 L2
=> d 13 fbib hitstr abs total
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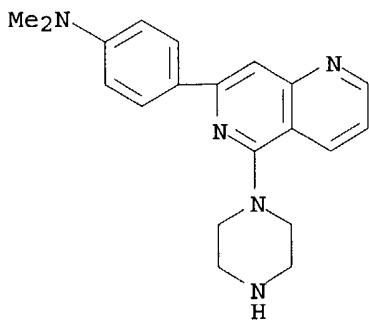
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:551515 CAPLUS
 DN 139:117410
 TI 1,6-Naphthyridines useful as inhibitors of SYK kinase, and their preparation, pharmaceutical compositions, and use in the treatment of allergic and inflammatory conditions
 IN Cywin, Charles L.; Jakes, Scott E.; Heider, Joachim; Bobko, Mark A.; Des Jarlais, Renee L.; Player, Mark; Rinker, James; Winters, Michael; Zhao, Bao-Ping
 PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 86 pp.
 CODEN: PIXXD2

DT Patent
 LA English

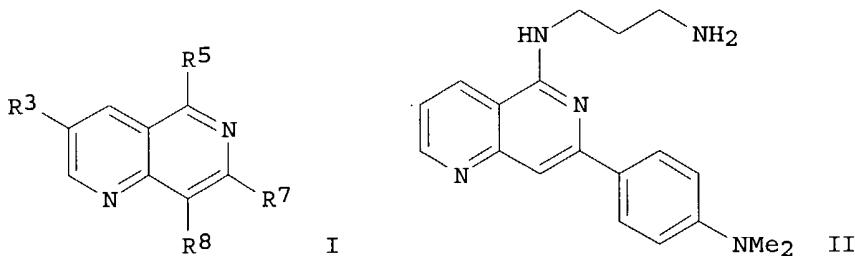
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|--|----------|-----------------|-------------|
| PI | WO 2003057695 | A1 | 20030717 | WO 2002-US38375 | 20021203 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2003158195 | A1 | 20030821 | US 2001-29714 | A 20011221 |
| | US 2003229090 | A1 | 20031211 | US 2003-413980 | 20030415 |
| | | | | US 2001-29714 | A1 20011221 |

OS MARPAT 139:117410
 IT 562106-42-7P, 5-(Piperazin-1-yl)-7-[4-(dimethylamino)phenyl]-[1,6]naphthyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of naphthyridines as inhibitors of SYK kinase for treatment of allergic and inflammatory conditions)
 RN 562106-42-7 CAPLUS
 CN Benzenamine, N,N-dimethyl-4-[5-(1-piperazinyl)-1,6-naphthyridin-7-yl]- (9CI) (CA INDEX NAME)



GI



AB Compds. of formula I are disclosed [wherein: R3 = H, C1-3 alkyl, halo, or Ph; R5 = NR9R10 or OR11; R9 = H or C1-3 alkyl; R10 = (un)substituted aminoalkyl or hydroxyalkyl where the alkyl may be substituted or mono-heteroatom-replaced; or NR9R10 = (un)substituted heterocycloalkyl; R11 = (un)substituted aminoalkyl, alkoxyalkyl, or hydroxyalkyl where the alkyl may be substituted or mono-heteroatom-replaced; or R11 = cycloalkyl, heterocycloalkyl, heteroaryl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, or heteroarylalkyl; R7 = (un)substituted Ph, naphthyl, furyl, thienyl, pyridyl, indolyl, benzothiazolyl or pyrrolyl; R8 = H, halo, or cyano; with the proviso that R3 ≠ H and R8 ≠ H when R7 = methoxy-substituted Ph and R5 = 4-ethylpiperazin-1-yl; or a pharmaceutically acceptable salt]. I are useful as inhibitors of SYK kinase, and are thus useful for treating diseases resulting from inappropriate mast cell activation. Such diseases would include allergic and inflammatory diseases. Also disclosed are pharmaceutical compns. comprising compds. I, and processes for preparing I. Synthetic examples (28) cover both invention compds. and intermediates, and approx. 100 individual compds. I are claimed per se. For instance, 2-methylnicotinic acid was lithiated with 2.5 equiv LDA and cyclocondensed with 4-(Me2N)C6H4CN to give 7-(4-dimethylaminophenyl)-[1,6]naphthyridin-5-ol. This 5-hydroxy compound was treated with POC13 to give the 5-chloro analog, which reacted with 1,3-diaminopropane to give invention compound II. In an assay for inhibition of SYK kinase activity as measured using DELFIA, all disclosed compds. I had IC50 values below 30 μM, and preferred compds. had values below 1 μM.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:244638 CAPLUS

DN 130:311813
 TI Preparation of piperazinylisoquinolines and analogs as serotonin antagonists

IN Ueno, Kohshi; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Kitazawa,
 Noritaka; Takahashi, Keiko; Yamamoto, Noboru; Suzuki, Yuichi; Matsunaga,
 Manabu; Kubota, Atsuhiko

PA Eisai Co., Ltd., Japan
 SO PCT Int. Appl., 740 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 9918077 | A1 | 19990415 | WO 1998-JP4465 | 19981002 |
| | W: US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | JP 1997-284290
JP 1998-281752
JP 1997-284290
JP 1998-153416 | A 19971002
19981002
A 19971002
A 19980602 |
| | JP 2000053647 | A2 | 20000222 | EP 1998-945593 | 19981002 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | JP 1997-284290
WO 1998-JP4465 | A 19971002
W 19981002 |
| | US 6340759 | B1 | 20020122 | US 2000-509778
JP 1997-284290
WO 1998-JP4465 | 20000331
A 19971002
W 19981002 |
| | US 2002013460 | A1 | 20020131 | US 2001-852850
JP 1997-284290
WO 1998-JP4465
US 2000-509778 | 20010511
A 19971002
W 19981002
A3 20000331 |

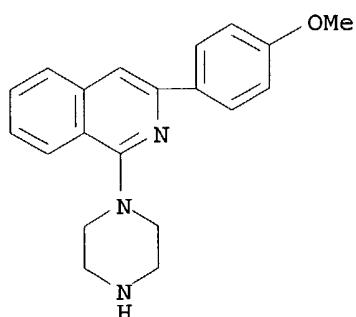
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IT 223553-55-7P 223554-49-2P 223554-62-9P

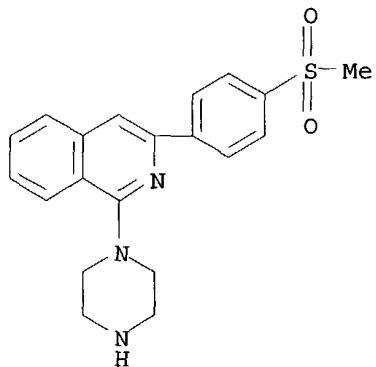
223554-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)(preparation of piperazinylisoquinolines and analogs as serotonin
 antagonists)

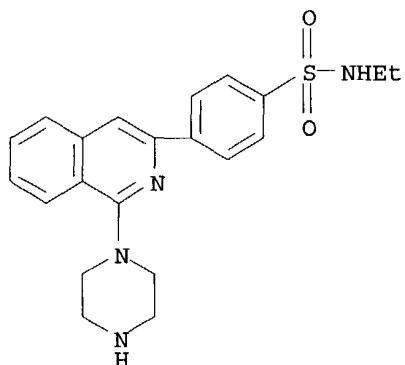
RN 223553-55-7 CAPLUS

CN Isoquinoline, 3-(4-methoxyphenyl)-1-(1-piperazinyl)- (9CI) (CA INDEX
 NAME)

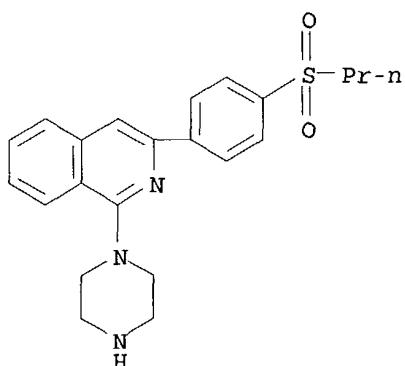
RN 223554-49-2 CAPLUS
CN Isoquinoline, 3-[4-(methylsulfonyl)phenyl]-1-(1-piperazinyl)- (9CI) (CA INDEX NAME)



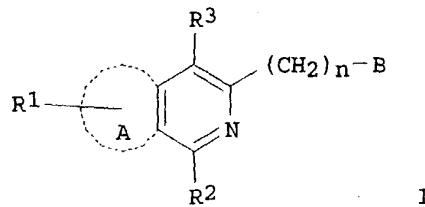
RN 223554-62-9 CAPLUS
CN Benzenesulfonamide, N-ethyl-4-[1-(1-piperazinyl)-3-isoquinolinyl]- (9CI)
(CA INDEX NAME)



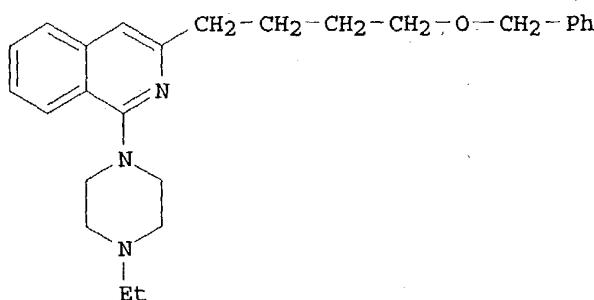
RN 223554-64-1 CAPLUS
CN Isoquinoline, 1-(1-piperazinyl)-3-[4-(propylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. I [ring A = benzene, pyridine, thiophene or furan ring; B = (un)substituted aryl, etc.; R¹ = H, halo, etc.; R² = 4-morpholinyl, etc.; R³ = H, halo, etc.; n = 0, or 1 - 6] are prepared. I are central muscle relaxing drugs for treating, ameliorating or preventing spastic paralysis or ameliorating myotonia. In an in vitro test for 5HT1 receptor antagonism, the title compound II showed the Ki value of 21.2 nM.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT